

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
17 March 2005 (17.03.2005)

PCT

(10) International Publication Number
WO 2005/023244 A1

(51) International Patent Classification⁷: **A61K 31/352**

(21) International Application Number:
PCT/KR2004/002247

(22) International Filing Date:
4 September 2004 (04.09.2004)

(25) Filing Language: Korean

(26) Publication Language: English

(30) Priority Data:
10-2003-0061938
4 September 2003 (04.09.2003) KR

(71) Applicant (for all designated States except US): Dong-A
Pharmaceutical Co., Ltd. [KR/KR]; 252 Yongdu-dong
Dongdaemun-ku, Seoul 130-072 (KR).

(72) Inventors; and

(75) Inventors/Applicants (for US only): YOO, Moohi

[KR/KR]; #5-801 Woosung 3rd Apt. Gaepo-1dong Kangnam-ku, Seoul 135-241 (KR). KIM, Dong Sung [KR/KR]; #501-903 Jugong Apt. Jamsil-5dong Songpa-ku, Seoul 138-916 (KR). KIM, Yong Duck [KR/KR]; #503-201 Shinnamusil Jugong Apt. Youngtong-dong Paldal-Ku Suwon, Kyunggi-do 442-470 (KR). KIM, Wonbae [KR/KR]; #A-1801 Daelim Acrovil Doggok-dong Kangnam-ku, Seoul 135-270 (KR).

(74) Agent: LEE, Won-Hee; 8th Fl. Sung-ji Heights II 642-16 Yoksam-dong Kangnam-ku, Seoul 135-080 (KR).

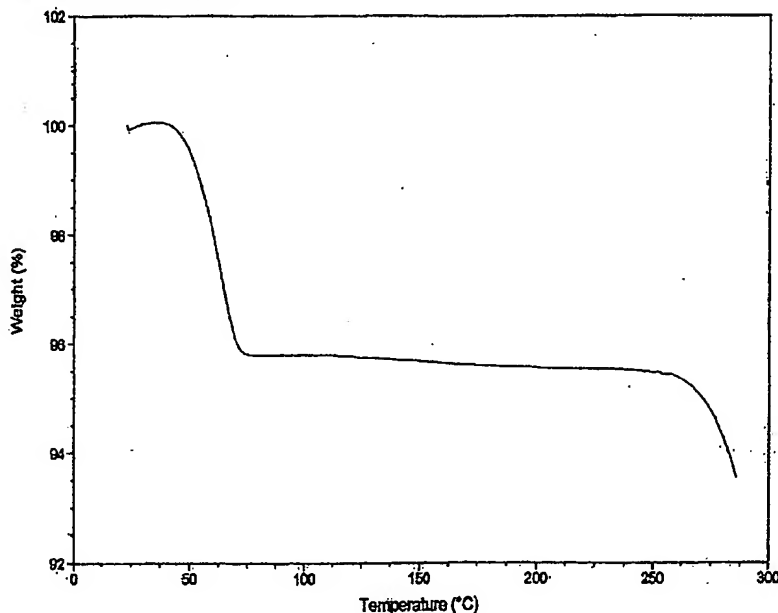
(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

[Continued on next page]

(54) Title: 7-CARBOXYMETHYLOXY-3', 4', 5-TRIMETHOXY FLAVONE MONOHYDRATE, THE PREPARATION METHOD AND USES THEREOF

Sample: I-3011
Size: 4.3600 mg
Comment: 10°C/min, N2=100ml/min

TGA



(57) Abstract: The present invention relates to 7-carboxymethyloxy-3', 4', 5-trimethoxy flavone monohydrate which is a non hygroscopic product suitable for the preparation of metered dose of 7-carboxymethyloxy-3', 4', 5-trimethoxy flavone having protective activity for gastrointestinal tract including the colon, and a preparation method and uses thereof. 7-carboxymethyloxy-3', 4', 5-trimethoxy flavone monohydrate of the present invention has advantages such as mucus protecting activity for gastrointestinal tract including the colon, convenience for handling and storage under ordinary humidity owing to its non-hygroscopicity, and ability to contain an active compound consistently for the formulation production of a medicine. In addition, the preparation method of 7-carboxymethyloxy-3', 4', 5-trimethoxy flavone monohydrate of the present invention reduces long steps of total synthesis and requires mild conditions for the production of a compound because autoclave condition is not necessary for methylation in this case, and makes mass-production

possible without any purification process such as recrystallization or column chromatography.



(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

Published:

— with international search report